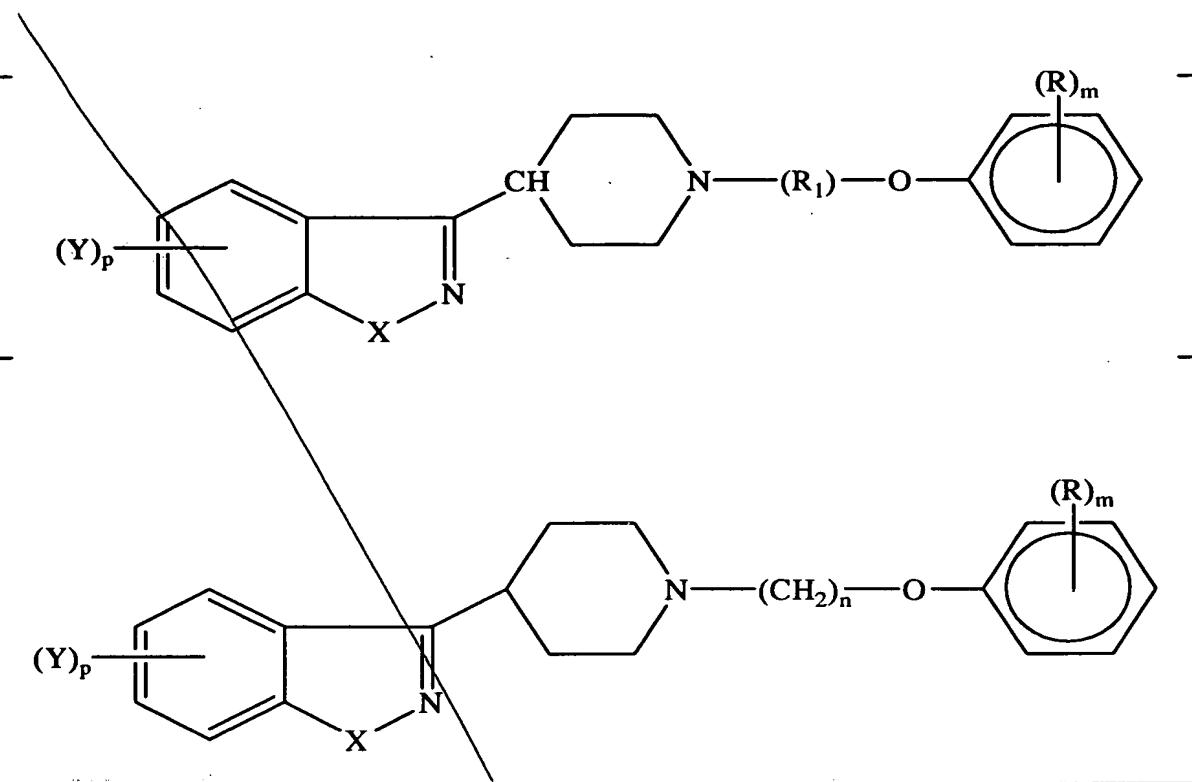


February 28, 2003



wherein

X is -O- or -S-;

p is 1 or 2;

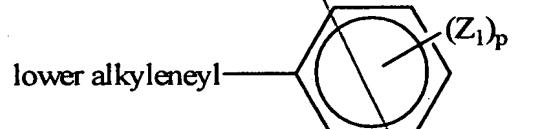
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;  
Y is lower alkoxy[, hydroxy and halogen] when p is 2 and X is -O-;[(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where] n is 2, 3, 4 or 5;[R<sub>21</sub> is

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

~~$$\begin{aligned}
 & -\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-, \\
 & -\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-, \\
 & -\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-\text{CH}_2, \\
 & -\text{CH}_2-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-, \\
 & -\text{CH}_2\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-, \text{ or} \\
 & -\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-, \\
 & \text{the } -\text{CH}=\text{CH}- \text{ bond being cis or trans;}
 \end{aligned}$$~~

$\text{R}_{22}$  is  $\text{R}_{20}$  or  $\text{R}_{21}$  in which one or more carbon atoms of  $\text{R}_{20}$  or  $\text{R}_{21}$  are substituted by at least one  $\text{C}_1\text{-C}_6$  linear alkyl group, phenyl group or



where  $\text{Z}_1$  is lower alkyl,  $-\text{OH}$ , lower alkoxy,  $-\text{CF}_3$ ,  $-\text{NO}_2$ ,  
 $-\text{NH}_2$  or halogen;]

$\text{R}$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]  
 $-\text{C}(\text{=O})\text{-alkyl}$ ,  $-\text{C}(\text{=O})\text{-O-alkyl}$ ,  $-\text{C}(\text{=O})\text{-aryl}$ ,  $-\text{C}(\text{=O})\text{-heteroaryl}$ , or

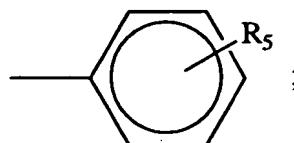
Application No. 09/708,475  
Art Unit 1624

February 28, 2003

-CH(OR<sub>7</sub>)-alkyl; [-CH(OR<sup>7</sup>)-alkyl; -C(=W)-alkyl, -C(=W)-aryl, and  
-C(=W)-heteroaryl;

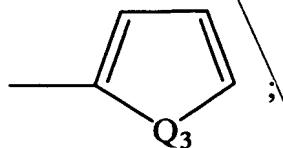
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub> ;]

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub> ; and

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

*Q'*  
*Sub*  
*D'*

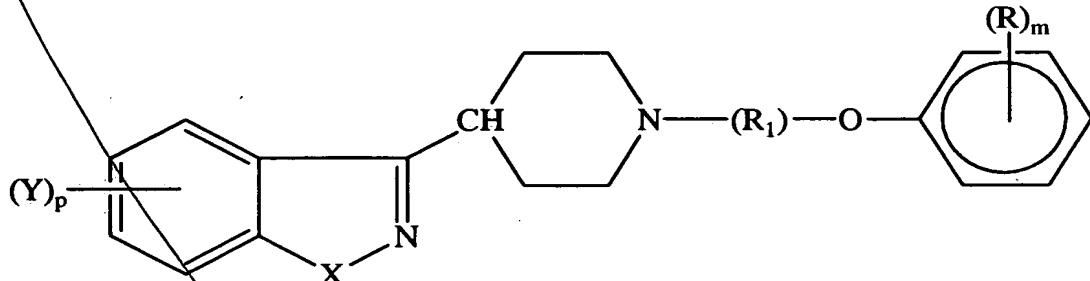
$R_{10}$  is hydrogen, lower alkyl,  $C_1$ - $C_3$  acyl, aryl,  
 $-C(=O)$ -aryl, or  $-C(=O)$ -heteroaryl,  
where aryl and heteroaryl are as defined above;]

and

$m$  is 1, 2, or 3;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.

February 28, 2003

80. (Amended three times) A compound as claimed in claim 1 [of the formula:

wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

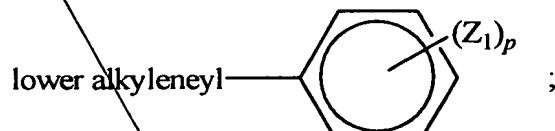
(R<sub>1</sub>) is R<sub>20</sub>, R<sub>21</sub>, or R<sub>22</sub>, wherein:R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;R<sub>21</sub> is-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

*Q/2*  
-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are  
substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or  
*Suh*  
*D)*where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>,  
-NH<sub>2</sub> or halogen; and R and m are as defined  
hereinafter;

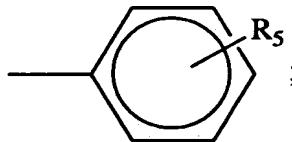
m is 1, 2, or 3; and

when m is 1, 2, or 3, R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl,  
chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino,  
nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,  
trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,  
dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,  
-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sup>7</sup>)-alkyl, -C(=W)-alkyl,  
-C(=W)-aryl, and -C(=W)-heteroaryl;  
alkyl is lower alkyl;

Application No. 09/708,475  
Art Unit 1624

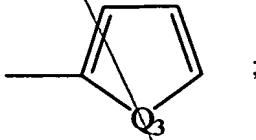
February 28, 2003

aryl is phenyl or



where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is

Q<sub>3</sub> is -O-, -S-, -NH-, -CH=N-;W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;R<sub>7</sub> is hydrogen, lower alkyl, or acyl;R<sub>8</sub> is lower alkyl;R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; andR<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

where aryl and heteroaryl are as defined above;

and]

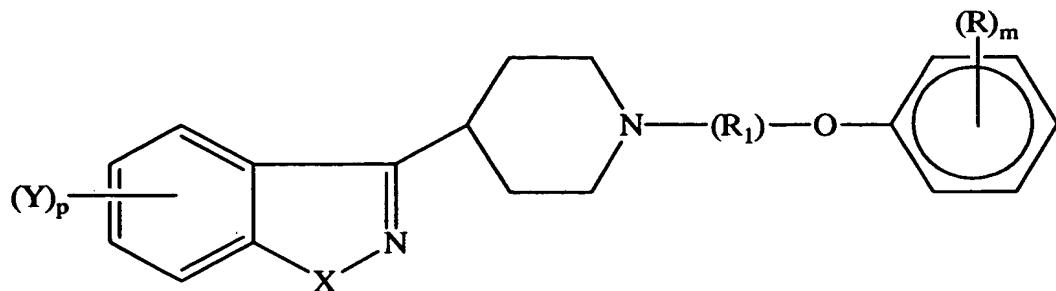
with the proviso that when m is 3, R is not -C(=O)-heteroaryl [or

-C(=W)-heteroaryl,];

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

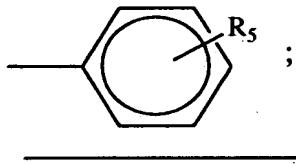
87. (Amended) A compound of the formula*C<sup>3</sup>*whereinX is -O- or -S-;p is 1 or 2;Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;(R1) is-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-.-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-.-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-.-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-.-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-.the -CH=CH- bond being cis or trans;

Application No. 09/708,475  
Art Unit 1624

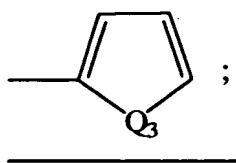
February 28, 2003

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

*C* 3  
bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,  
trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,  
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,  
-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,  
-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;aryl is phenyl or

wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, lower dialkylamino, nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>:

R<sub>7</sub> is hydrogen, lower alkyl, or acyl:

R<sub>8</sub> is lower alkyl:

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;

and

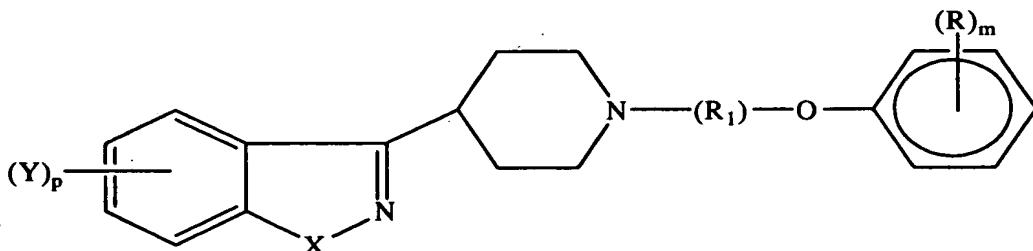
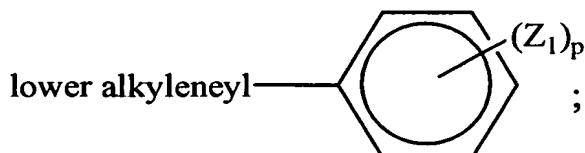
m is 1, 2, or 3:

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

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Application No. 09/708,475  
Art Unit 1624

February 28, 2003

104. (Amended) A compound of the formulaC<sup>4</sup>whereinX is -O- or -S-;p is 1 or 2;Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group orwherein Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub>, or halogen;

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>-, wherein n is 2, 3, 4 or 5;

R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

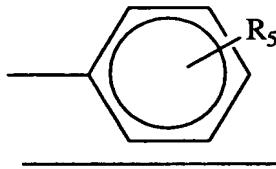
-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

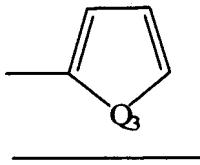
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,  
bromine, iodine, amino, lower mono or dialkylamino, nitro, lower  
alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,  
trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,  
dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,  
-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,  
-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;  
wherein alkyl is lower alkyl;  
aryl is phenyl or

February 28, 2003



C4

wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, lower dialkylamino, nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;  
heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;  
W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;  
R<sub>7</sub> is hydrogen, lower alkyl, or acyl;  
R<sub>8</sub> is lower alkyl;  
R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub> ; and  
R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,  
-C(=O)-aryl, or -C(=O)-heteroaryl,

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

wherein aryl and heteroaryl are as defined above;

and

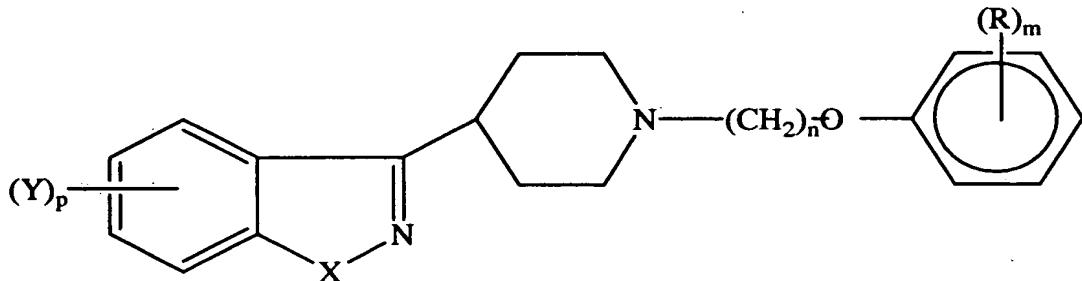
m is 1, 2, or 3;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof.

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Application No. 09/708,475  
Art Unit 1624

February 28, 2003

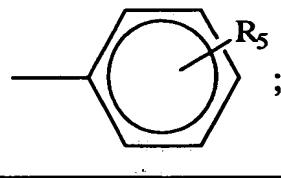
132. (Amended) A compound of the formulawhereinX is -O- or -S-;p is 1 or 2;Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine,lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;n is 2, 3, 4 or 5;R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR7)-alkyl,-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;wherein alkyl is lower alkyl;

Application No. 09/708,475  
Art Unit 1624

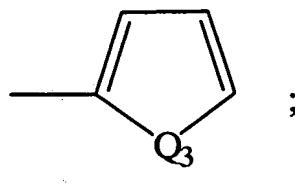
February 28, 2003

aryl is phenyl or

C5



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;R<sub>7</sub> is hydrogen, lower alkyl, or acyl;R<sub>8</sub> is lower alkyl;R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; andR<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,-C(=O)-aryl or -C(=O)-heteroaryl;

Application No. 09/708,475  
Art Unit 1624

February 28, 2003

wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.